

10/805,813

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|--|---|------------------|---------|------------------|
| S1 | 355 | (\$amphetamine or ecstasy or antioctogen\$1) same (immunogen\$3 or label or tracer or carrier) | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB | OR | OFF | 2005/05/09 13:35 |
| S2 | 339 | S1 and @py<="2004" | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB | OR | OFF | 2005/05/09 13:36 |
| S3 | 135 | S2 and antibody | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB | OR | OFF | 2005/05/09 13:37 |
| S4 | 106 | S3 and (conjugate or label or tracer) | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB | OR | OFF | 2005/05/09 13:39 |

10/805,813

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LOGINID:SSSPTASXH1641

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|--------|--|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | FEB 25 | CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered |
| NEWS | 4 | FEB 28 | PATDPAFULL - New display fields provide for legal status data from INPADOC |
| NEWS | 5 | FEB 28 | BABS - Current-awareness alerts (SDIs) available |
| NEWS | 6 | FEB 28 | MEDLINE/LMEDLINE reloaded |
| NEWS | 7 | MAR 02 | GBFULL: New full-text patent database on STN |
| NEWS | 8 | MAR 03 | REGISTRY/ZREGISTRY - Sequence annotations enhanced |
| NEWS | 9 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS | 10 | MAR 22 | KOREAPAT now updated monthly; patent information enhanced |
| NEWS | 11 | MAR 22 | Original IDE display format returns to REGISTRY/ZREGISTRY |
| NEWS | 12 | MAR 22 | PATDPASPC - New patent database available |
| NEWS | 13 | MAR 22 | REGISTRY/ZREGISTRY enhanced with experimental property tags |
| NEWS | 14 | APR 04 | EPFULL enhanced with additional patent information and new fields |
| NEWS | 15 | APR 04 | EMBASE - Database reloaded and enhanced |
| NEWS | 16 | APR 18 | New CAS Information Use Policies available online |
| NEWS | 17 | APR 25 | Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications. |
| NEWS | 18 | APR 28 | Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS |
| NEWS EXPRESS | | | JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005 |
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| NEWS INTER | | | General Internet Information |
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| NEWS PHONE | | | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | | | CAS World Wide Web Site (general information) |

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:54:28 ON 09 MAY 2005

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.84

0.84

FILE 'REGISTRY' ENTERED AT 12:56:38 ON 09 MAY 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 MAY 2005 HIGHEST RN 850006-33-6

DICTIONARY FILE UPDATES: 8 MAY 2005 HIGHEST RN 850006-33-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

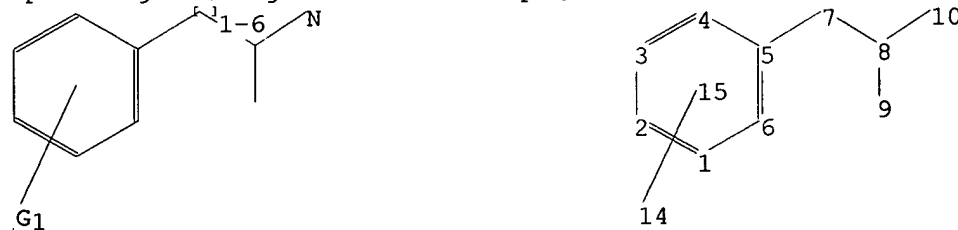
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10805813.str



chain nodes :

7 8 9 10 14

ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 8-9 8-10

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

8-10

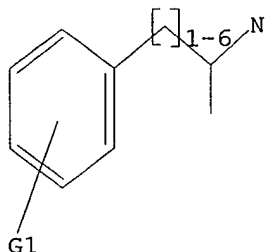
exact bonds :
5-7 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

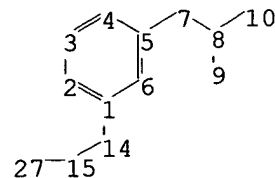
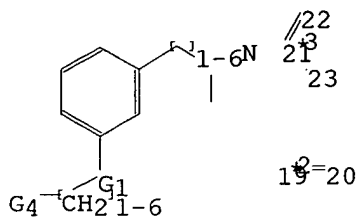
=> s l1
SAMPLE SEARCH INITIATED 12:57:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 49519 TO ITERATE

2.0% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 977109 TO 1003651
PROJECTED ANSWERS: 354420 TO 370538

L2 50 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10805813a.str



```

chain nodes :
7 8 9 10 14 15 19 20 21 22 23 27
ring nodes :
1 2 3 4 5 6
chain bonds :
1-14 5-7 7-8 8-9 8-10 14-15 15-27 19-20 21-22 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-14 8-10 14-15 15-27 19-20 21-22 21-23
exact bonds :
5-7 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

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G1:O,S,N

G3

G4:[*2],[*3]

Match level :

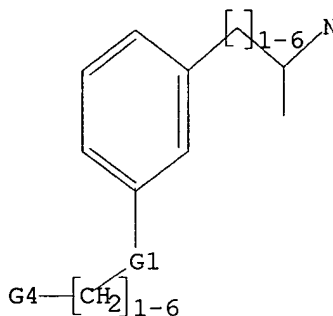
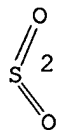
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
14:CLASS 15:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 27:CLASS

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR



G1 O,S,N

G2

G3

G4 [G1],[G2]

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 12:58:53 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 29059 TO ITERATE

3.4% PROCESSED 1000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 570988 TO 591372

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s 13 sss full

FULL SEARCH INITIATED 12:59:47 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 579739 TO ITERATE

69.0% PROCESSED 400000 ITERATIONS

137 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 579739 TO 579739

PROJECTED ANSWERS: 156 TO 240

L5 137 SEA SSS FUL L3

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

165.20

166.04

FILE 'CAPLUS' ENTERED AT 13:02:21 ON 09 MAY 2005

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FILE COVERS 1907 - 9 May 2005 VOL 142 ISS 20
FILE LAST UPDATED: 8 May 2005 (20050508/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L6 36 L5

=> s 16 not py>2004

378466 PY>2004

L7 32 L6 NOT PY>2004

=> s 17 and (label or tracer or carrier or immunogen?)

56748 LABEL

19139 LABELS

67903 LABEL

(LABEL OR LABELS)

51709 TRACER

17474 TRACERS

60934 TRACER

(TRACER OR TRACERS)

250457 CARRIER

138525 CARRIERS

326369 CARRIER

(CARRIER OR CARRIERS)

30466 IMMUNOGEN?

L8 3 L7 AND (LABEL OR TRACER OR CARRIER OR IMMUNOGEN?)

=> d 18 ibib abs hitstr tot

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:693233 CAPLUS

DOCUMENT NUMBER: 139:207730

TITLE: Antibodies for detecting amphetamine derivatives,
compounds useful in antibody production, reagent kits,
and detection methods for amphetamine derivatives

INVENTOR(S): Hui, Raymond A.

PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany; F. Hoffmann-La
Roche A.-G.

SOURCE: Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

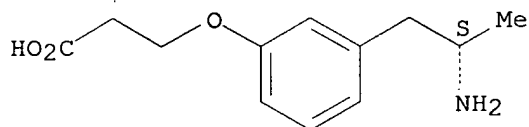
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

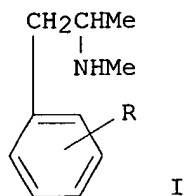
| | | | | |
|---|----|----------|-----------------|------------|
| EP 1340981 | A2 | 20030903 | EP 2003-3298 | 20030225 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2003175995 | A1 | 20030918 | US 2002-87469 | 20020301 |
| CA 2419696 | AA | 20030901 | CA 2003-2419696 | 20030224 |
| JP 2004002316 | A2 | 20040108 | JP 2003-49924 | 20030226 |
| PRIORITY APPLN. INFO.: | | | US 2002-87469 | A 20020301 |
| OTHER SOURCE(S): MARPAT 139:207730 | | | | |
| AB Compds. including haptens, intermediates, and immunogens that are useful in the production of antibodies specific for the methylenedioxy class of amphetamine derivs. are described. Antibodies specific for the methylenedioxy class of amphetamine derivs., reagent kits containing antibodies specific for the methylenedioxy class of amphetamine derivs., methods of producing antibodies specific for the methylenedioxy class of amphetamine derivs., and methods of detecting analytes including members of the methylenedioxy class of amphetamine derivs. are also described. | | | | |
| IT 590346-23-9D , BSA conjugates | | | | |
| RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) | | | | |
| (antibodies for detecting amphetamine derivs., compds. for antibody production, reagent kits, and detection methods for amphetamine derivs.) | | | | |
| RN 590346-23-9 CAPLUS | | | | |
| CN Propanoic acid, 3-[3-[(2S)-2-aminopropyl]phenoxy]- (9CI) (CA INDEX NAME) | | | | |

Absolute stereochemistry.



L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:492553 CAPLUS
 DOCUMENT NUMBER: 139:51621
 TITLE: Monoclonal antibody antagonists for treating medical problems associated with d-amphetamine-like drugs
 INVENTOR(S): Owens, Samuel M.; Carroll, Frank Ivy; Abraham, Philip
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 48 pp., Cont.-in-part of U.S. Ser. No. 839,549.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|-------------|
| US 2003119083 | A1 | 20030626 | US 2002-255462 | 20020926 |
| US 2001051158 | A1 | 20011213 | US 2001-839549 | 20010420 |
| US 6669937 | B2 | 20031230 | | |
| PRIORITY APPLN. INFO.: | | | US 2000-198902P | P 20000420 |
| | | | US 2001-839549 | A2 20010420 |
| OTHER SOURCE(S): | | | MARPAT 139:51621 | |
| GI | | | | |



AB The present invention provides synthetic immunochem. haptens for the generation of antibodies that are designed to recognize the common mol. features of d-methamphetamine-like abused stimulants with insignificant cross-reactivity to endogenous substrates (e.g. dopamine) or over-the-counter medications (e.g. l-methamphetamine, pseudoephedrine, phenylpropanolamine and ephedrine). The haptens comprise compound I [wherein R = ZR₂COOR₁; Z = O or S or single bond between R₂ and ortho, meta, para attachment sites; R₂ = alkyl, alkenyl, or alkynyl wherein the alkyl chain optionally contains O or NR₃; R₁ = H or R₄; R₃ = alkyl; and R₄ = -CH₂CH₂CN, 4-nitrophenyl, pentafluorophenyl, succinimide, or 2,3,5-trichlorophenyl]. These monoclonal antibodies and their antigen binding fragments are useful in treatment plans for abuse, addiction, and overdose.

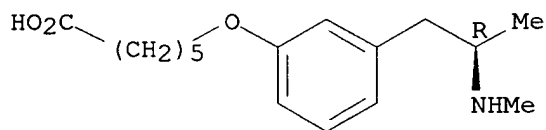
IT **371149-92-7P**

RL: BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conjugation to immunol. **carrier** protein)

RN 371149-92-7 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-(methylamino)propyl]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

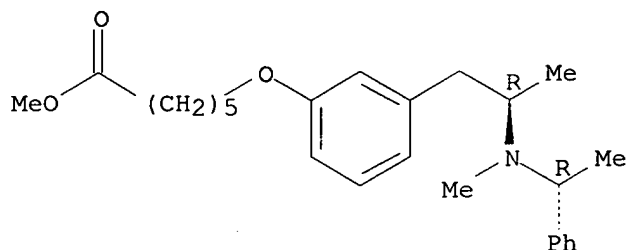
IT **371149-88-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzoylation of)

RN 371149-88-1 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-[methyl[(1R)-1-phenylethyl]amino]propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



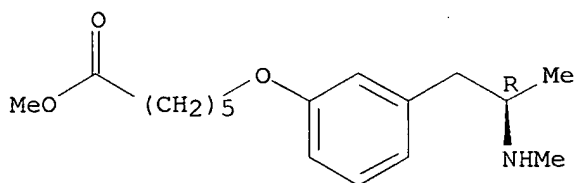
IT **371149-89-2P**

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deesterification of)

RN 371149-89-2 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-(methylamino)propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



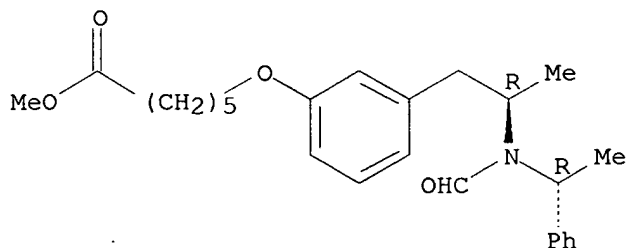
IT **371149-87-0P**

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

RN 371149-87-0 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-[formyl[(1R)-1-phenylethyl]amino]propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:798299 CAPLUS

DOCUMENT NUMBER: 135:343302

TITLE: Monoclonal antibody antagonists for treating medical problems associated with d-amphetamine-like drugs

INVENTOR(S): Owens, Samuel M.; Carroll, Frank Ivy; Abraham, Philip

PATENT ASSIGNEE(S): Board of Trustees of the University of Arkansas, USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001081424 | A1 | 20011101 | WO 2001-US12899 | 20010420 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2000-198902P P 20000420
 OTHER SOURCE(S): MARPAT 135:343302

AB The authors disclose the generation of antibodies designed to recognize the common mol. features of d-methamphetamine-like abused stimulants. The antibodies will have insignificant cross-reactivity with endogenous substrates (e.g. dopamine) or over-the-counter medications (e.g. l-methamphetamine, pseudoephedrine, phenylpropanolamine and ephedrine). These antibodies, and their antigen binding fragments, are useful in treatment plans for recovering addicts, in emergency room settings for rapidly reversing a drug overdose, in protection of fetuses or fetus from drug-abusing pregnant mothers or in a psychiatric setting to reduce the exacerbation of psychotic disorders caused by stimulant drugs.

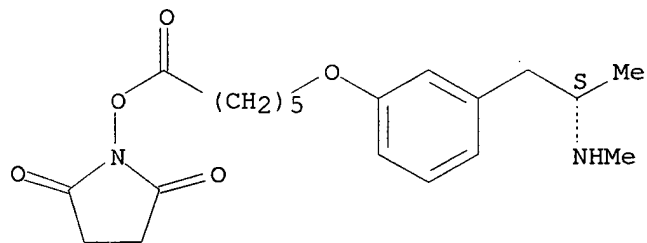
IT 371149-95-0P 371149-96-1P 371149-98-3P
 371150-00-4P 371150-02-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (for preparation of monoclonal antibodies to amphetamine and related compds.)

RN 371149-95-0 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[[6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-1-oxohexyl]oxy]- (9CI) (CA INDEX NAME)

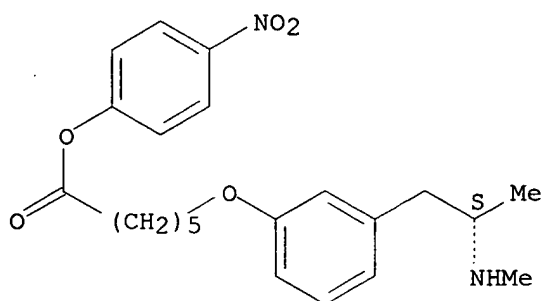
Absolute stereochemistry.



RN 371149-96-1 CAPLUS

CN Hexanoic acid, 6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

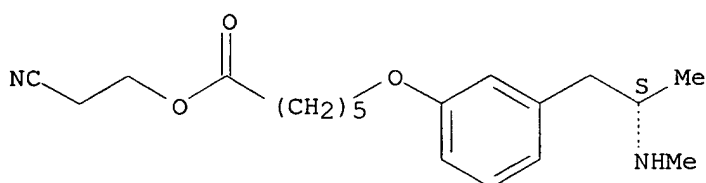
Absolute stereochemistry.



RN 371149-98-3 CAPLUS

CN Hexanoic acid, 6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

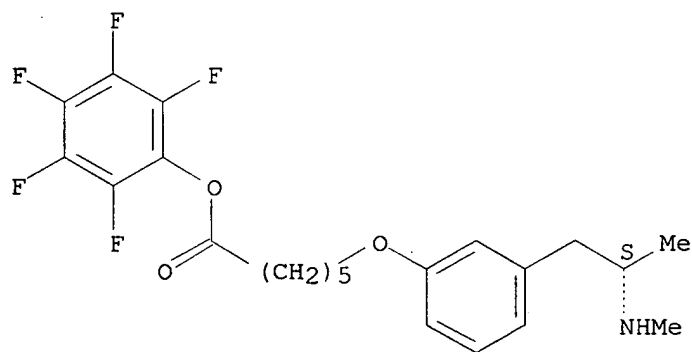
Absolute stereochemistry.



RN 371150-00-4 CAPLUS

CN Hexanoic acid, 6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

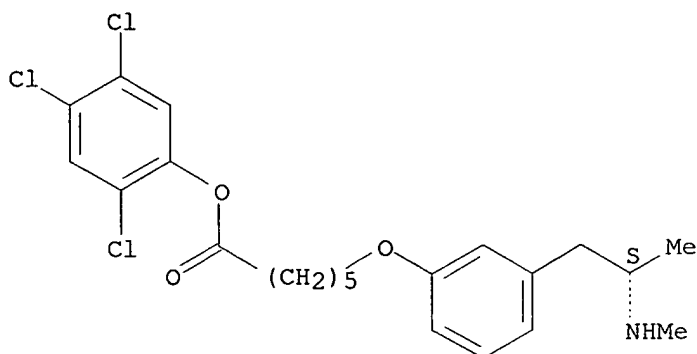
Absolute stereochemistry.



RN 371150-02-6 CAPLUS

CN Hexanoic acid, 6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-, 2,4,5-trichlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



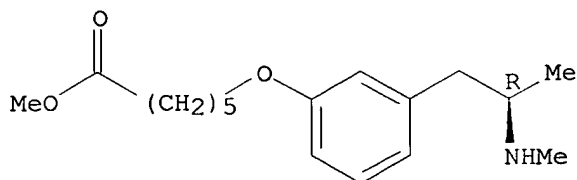
IT **371149-89-2P**

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and acidification of)

RN 371149-89-2 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-(methylamino)propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



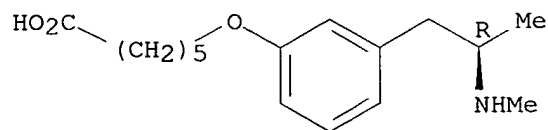
IT **371149-92-7P 371149-93-8P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and conjugation to **carrier** protein)

RN 371149-92-7 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-(methylamino)propyl]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

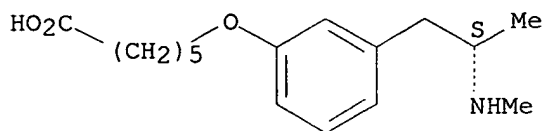


● HCl

RN 371149-93-8 CAPLUS

CN Hexanoic acid, 6-[3-[(2S)-2-(methylamino)propyl]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

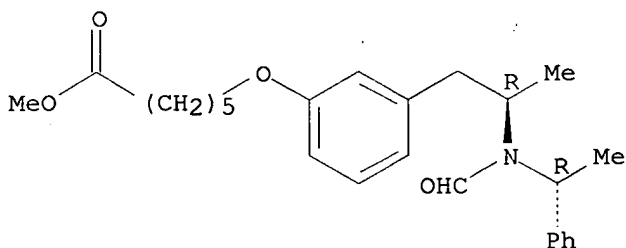
IT 371149-87-0P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

RN 371149-87-0 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-[formyl[(1R)-1-phenylethyl]amino]propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



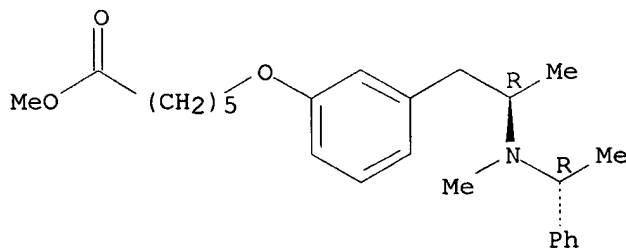
IT 371149-88-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

RN 371149-88-1 CAPLUS

CN Hexanoic acid, 6-[3-[(2R)-2-[methyl[(1R)-1-phenylethyl]amino]propyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dup rem 16

PROCESSING COMPLETED FOR L6

L9 36 DUP REM L6 (0 DUPLICATES REMOVED)

ANSWERS '1-36' FROM FILE CAPLUS

=> l9 and ptotecting group
L9 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l9 and protecting group
L10 36 S L9
52985 PROTECTING
1455536 GROUP
946993 GROUPS
2035176 GROUP
(GROUP OR GROUPS)
14530 PROTECTING GROUP
(PROTECTING(W)GROUP)
L11 2 L10 AND PROTECTING GROUP

=> s l8 and l11
L12 0 L8 AND L11

=> dup rem l8 l11
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L11
L13 5 DUP REM L8 L11 (0 DUPLICATES REMOVED)
ANSWERS '1-5' FROM FILE CAPLUS

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:569849 CAPLUS
DOCUMENT NUMBER: 141:89372
TITLE: Preparation of tripeptides as inhibitors of the
Yersinia phosphatase (YopH) enzyme
INVENTOR(S): Burke, Terrence R.; Lee, Kyeong; Gao, Yang; Phan,
Jason; Waugh, David S.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2004138104 | A1 | 20040715 | US 2003-341607 | 20030114 |
| WO 2004065411 | A2 | 20040805 | WO 2004-US669 | 20040112 |
| WO 2004065411 | A3 | 20050127 | | |

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,
CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
MZ, MZ, NA, NI

PRIORITY APPLN. INFO.: US 2003-341607 A 20030114
OTHER SOURCE(S): MARPAT 141:89372

AB Disclosed are tripeptides of formula P-A-B-C [A is an amino acid having a
carboxyalkyl group, B is (un)substituted tyrosine or phenylalanine, C is a
hydrophobic amino acid, and P is an amine **protecting**
group (with provisos)] or their prodrugs for use in pharmaceutical
compsns. for treating an animal, e.g., a human, exposed to or infected by
Yersinia pestis. The compds. find use as anti-bioterrorism agents.

Tripeptides of the invention were prepared by the Fmoc-based solid-phase method. Fmoc-L-Glu-L-Tyr(CH₂CO₂H)-L-Leu-NH₂ showed IC₅₀ values 4.6 ± 2 and 2.8 ± 1.1 μM for inhibition of protein tyrosine phosphatase 1B (PTB1B) and YopH, resp.

IT 596814-15-2P

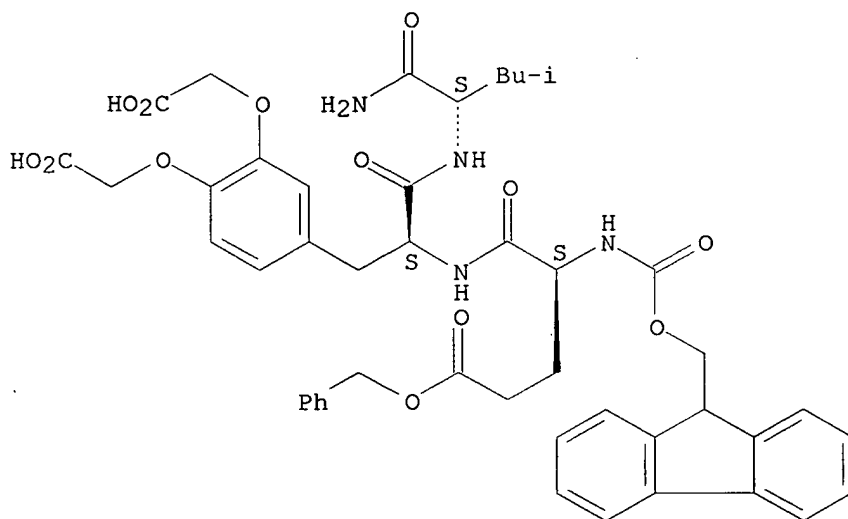
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tripeptides as inhibitors of Yersinia phosphatase (YopH) enzyme for use as anti-bioterrorism agents)

RN 596814-15-2 CAPLUS

CN L-Leucinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-α-glutamyl-3-(carboxymethoxy)-O-(carboxymethyl)-L-tyrosyl-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:633643 CAPLUS

DOCUMENT NUMBER: 139:180343

TITLE: Preparation of aromatic amino acid derivatives as anticancer agents

INVENTOR(S): Endo, Hitoshi; Kanai, Yoshikatsu; Tsujihara, Kenji; Saito, Kunio

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

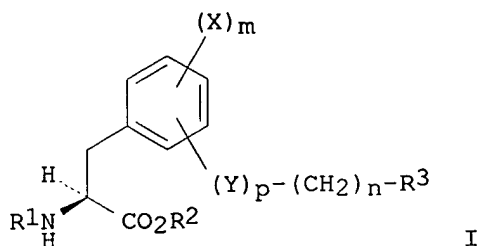
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

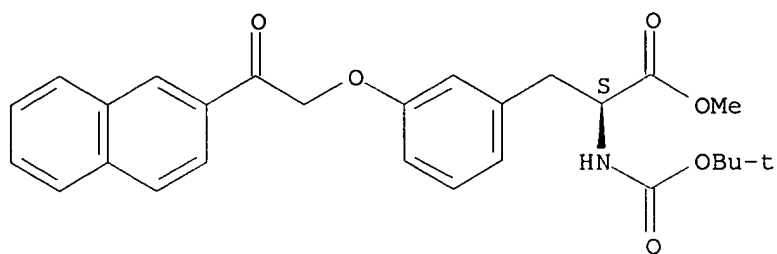
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2003066574 | A1 | 20030814 | WO 2003-JP1081 | 20030203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, | | | | |

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1481965 A1 20041201 EP 2003-703151 20030203
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 PRIORITY APPLN. INFO.: JP 2002-31216 A 20020207
 WO 2003-JP1081 W 20030203
 OTHER SOURCE(S): MARPAT 139:180343
 GI



- AB Aromatic amino acid derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R1 represents hydrogen or an amino-**protecting group**; R2 represents hydrogen, alkylaralkyl or aryl; R3 represents (1) halogeno, (2) aroylamino, (3) Ph substituted by lower alkyl, Ph, phenoxy, etc., (4) naphthyl or tetrahydronaphthyl optionally substituted by hydroxy, lower alkoxy or di(lower alkyl)amino, (5) an N-, O- and/or S-containing unsatd. monocyclic heterocycle group substituted by lower alkyl, Ph, naphthyl or tetrahydroquinolyl, or (6) an N-, O- and/or S-containing fused heterocycle group, which may be unsatd. or partly saturated, optionally substituted by oxo, carboxy, amino, lower alkyl, etc.; X represents halogeno, alkyl or alkoxy; Y represents oxygen or nitrogen; p is 0 or 1; m is 0, 1 or 2; and n is an integer of from 0 to 5] are prepared These compds. inhibit a transporter (LAT1) of essential amino acids which are one of the main nutrients for cancer cells and induce depletion of the essential amino acids in the cancer cells, thereby inhibit the proliferation of the cancer cells. Thus, 0.2 mL pyridine was added to a suspension of N-trifluoroacetyl-3-hydroxy-L-phenylalanine Et ester 159, 2-naphthaleneboronic acid 186, mol. sieve 4A 204, and Cu(OAc)2 153 mg in 7 mL CH2Cl2, stirred at room temperature for 16 h in air to give, after workup and silica gel chromatog., 89% N-trifluoroacetyl-3-(2-naphthyloxy)-L-phenylalanine Et ester (II). 0.5 N aqueous NaOH was added to a solution of II (94 mg) in 2 mL THF at 5°, stirred at 5° for 69 h, acidified with 1 N aqueous HCl to pH 3-4, and filtered to give 78% 3-(2-naphthyloxy)-L-phenylalanine (III). In an assay for a LAT1 inhibitory activity, III and 3-[3-(6-dimethylaminopyridyl)phenoxy]-L-phenylalanine in vitro showed IC50 of 0.1 and 0.01 µg/mL, resp., for inhibiting the uptake of [14C]-L-tyrosine by human prostatic cancer T24 cells.
- IT **579525-78-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of aromatic amino acid derivs. as anticancer agents for inhibiting proliferation of cancer cells by inhibiting essential amino acid transporter (LAT1))
- RN 579525-78-3 CAPLUS
 CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-3-[2-(2-naphthalenyl)-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

52.78

218.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.65

-3.65

STN INTERNATIONAL LOGOFF AT 13:22:01 ON 09 MAY 2005